Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1613SXW

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
Welcome to STN International
* * * * * * * *
                Web Page URLs for STN Seminar Schedule - N. America
NEWS
                BLAST(R) searching in REGISTRY available in STN on the Web
        Jan 25
NEWS
                FSTA has been reloaded and moves to weekly updates
NEWS
        Jan 29
               DKILIT now produced by FIZ Karlsruhe and has a new update
NEWS 4 Feb 01
                frequency
        Feb 19 Access via Tymnet and SprintNet Eliminated Effective 3/31/02
NEWS 5
     6 Mar 08 Gene Names now available in BIOSIS
NEWS
     7 Mar 22 TOXLIT no longer available
NEWS
     8 Mar 22
                TRCTHERMO no longer available
NEWS
NEWS 9 Mar 28 US Provisional Priorities searched with P in CA/CAplus
                and USPATFULL
NEWS 10 Mar 28 LIPINSKI/CALC added for property searching in REGISTRY
                PAPERCHEM no longer available on STN. Use PAPERCHEM2 instead.
NEWS 11 Apr 02
                "Ask CAS" for self-help around the clock
NEWS 12 Apr 08
                BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 13 Apr 09
                ZDB will be removed from STN
NEWS 14 Apr 09
                US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 15 Apr 19
                Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 16 Apr 22
                 BIOSIS Gene Names now available in TOXCENTER
NEWS 17
        Apr 22
                Federal Research in Progress (FEDRIP) now available
NEWS 18 Apr 22
NEWS EXPRESS February 1 CURRENT WINDOWS VERSION IS V6.0d,
              CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
              AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
              STN Operating Hours Plus Help Desk Availability
NEWS HOURS
              General Internet Information
NEWS INTER
              Welcome Banner and News Items
NEWS LOGIN
              Direct Dial and Telecommunication Network Access to STN
NEWS PHONE
              CAS World Wide Web Site (general information)
NEWS WWW
```

Enter NEWS followed by the item number or name to see news on that specific topic.

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=> l reg
L IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> fil reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 29 APR 2002 HIGHEST RN 409058-68-0 DICTIONARY FILE UPDATES: 29 APR 2002 HIGHEST RN 409058-68-0

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> Uploading 09500849.str

L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam SAMPLE SEARCH INITIATED 10:13:32 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 160 TO ITERATE

100.0% PROCESSED 160 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
RATCH **COMPLETE**

PROJECTED ITERATIONS: 2442 TO 3958
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 full FULL SEARCH INITIATED 10:13:38 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 3213 TO ITERATE

100.0% PROCESSED 3213 ITERATIONS 9 ANSWERS SEARCH TIME: 00.00.02

L3 9 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS

ENTRY
SESSION
140.28
140.49

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FILE COVERS 1907 - 1 May 2002 VOL 136 ISS 18 FILE LAST UPDATED: 29 Apr 2002 (20020429/ED)

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=> s 13 full 1 L3

=> d 14 ibib abs hitstr

ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2000:573797 CAPLUS

DOCUMENT NUMBER:

133:177158

TITLE:

Preparation of cyclic substituted fused

pyrrolocarbazoles and isoindolones with protein kinase

inhibiting activity for pharmaceutical use

INVENTOR(S):

Hudkins, Robert L.; Reddy, Dandu; Singh, Jasbir; Stripathy, Rabindranath; Underiner, Theodore L.

PATENT ASSIGNEE(S):

Cephalon, Inc., USA

SOURCE:

PCT Int. Appl., 131 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | | | | KIND DATE | | | APPLICATION NO. | | | | | | DATE | | | | |
|--------------------------|---------------------|-----|----------------|-----------|-------------|---|-----------------|-----|-----|------|--------------|----------|------|-------|------|-----|-----|
| WO 2000047583 | | | A1 2000 | | | 0817 | | W | 200 | 00-U | 20000 | 000211 | | | | | |
| | ₩. | λE | Σ Δ.Τ. | ΔM | AT. | AII. | AZ. | BA. | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CR, | CU, |
| | ** • | C7 | DE | DΚ. | DM. | EE. | ES. | FI. | GB, | GD, | GE, | GH, | GM, | пĸ, | пυ, | ID, | TI, |
| | | TM | TS | JP. | KE. | KG. | KP. | KR, | ΚZ, | LC, | LK, | LR, | ъS, | LT, | Lυ, | ьv, | MA, |
| | | MD. | MG | MK. | MN. | MW. | MX. | NO. | NZ, | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SI, |
| | | CV | ST. | TT.T | TM. | TR. | TT. | TZ. | UA. | UG, | UZ, | VN, | YU, | ZA, | ZW, | AM, | ΑZ, |
| | | | | | | | TJ, | | • | • | - | | | | | | |
| | DV7 • | DI, | CM | KE, | T.S | MW | SD. | ST | SZ. | TZ. | UG, | ZW, | AT, | BE, | CH, | CY, | DE, |
| | RW: | Gn, | EC. | RE, | ED. | GB. | GR. | TE. | TΤ. | LU. | MC. | NL, | PT | SE, | BF, | ВJ, | CF, |
| | | DK, | ED, | CM | C.V. | GD, | CW. | MI. | MR. | NE. | SN. | TD. | TG | • | | | |
| EP 1165562 | | | 71 20020102 | | | ML, MR, NE, SN, TD, TG EP 2000-911759 200002 | | | | | | | 0211 | | | | |
| EP | 1102 | 562 | D.17 | CII. | . D.E. T | Z002 | FC | FD | GR | GR. | τ π - | T.T. | LU | , NL, | SE, | MC, | PT, |
| | R: | A1, | BE, | CH, | DE, | Dr. | DO, | LIV | OD, | OI() | , | , | | ,, | • | | - |
| | | SI, | LT, LV, FI, RO | | | NO 2001-3887 | | | | | | 20010809 | | | | | |
| NO 2001003887 A 20011011 | | | | | | | | | | 34P | | 1999 | | | | | |
| TIRC | ORITY APPLN. INFO.: | | | | | | | | | フフラー | 1190 | 34F | Ľ | 1000 | 0212 | | |

US 2000-500849 A 20000210 WO 2000-US3476 W 20000211

OTHER SOURCE(S):

MARPAT 133:177158

Fused pyrrolocarbazoles and isoindolones, such as I [R1 = H, alkyl, aryl, AΒ arylalkyl, heteroaryl, heteroarylalkyl; R3-6 = H, CN, CF3, OH, CH2OH, halogen, aryl, heteroaryl, acyl, acyloxy, amino, etc.; Q = O, S, NR7; W = CR8R9; X, Y = H2, O; R7 = H, alkyl, heterocyclylalkyl, etc.; R8, R9 = H, OH, cycloalkyl, cycloalkylmethyl, heterocyclyl, heterocyclylalkyl, etc.], were prepd. for use as agents for the regulation of protein kinase and for the treatment of prostate disorders, neoplasia, rheumatoid arthritis, pulmonary fibrosis, etc. Thus, II (R = oxiranylmethyl) was prepd. in 71% yield by via reaction of (.+-.)-glycidyl mesylate and Rink's acid resin bound 6,7,12,13-tetrahydro-5H-indeno[2,1-a]pyrrolo[3,4-c]carbazol-5-one. The prepd. compds. were tested for inhibitory activity against a variety of protein kinases, such as trkA tyrosine kinase, vascular endothelial growth factor receptor kinase, protein kinase C, etc.

288569-29-9P

IT

IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of cyclic substituted fused pyrrolocarbazoles and isoindolones with protein kinase inhibiting activity for pharmaceutical use)

288569-29-9 CAPLUS RN

Cyclopentanecarboxylic acid, 2-oxo-5-(6,7,12,13-tetrahydro-5-oxo-5Hindeno[2,1-a]pyrrolo[3,4-c]carbazol-13-yl)-, ethyl ester (9CI) (CA INDEX CN NAME)

288569-24-4P 288569-30-2P 288569-33-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic substituted fused pyrrolocarbazoles and isoindolones with protein kinase inhibiting activity for pharmaceutical use)

RN 288568-90-1 CAPLUS

5H-Indeno[2,1-a]pyrrolo[3,4-c]carbazol-5-one, 6,7,12,13-tetrahydro-13-(1,2,3,4-tetrahydro-2-hydroxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 288568-92-3 CAPLUS

SH-Indeno[2,1-a]pyrrolo[3,4-c]carbazol-5-one, 6,7,12,13-tetrahydro-13-[1-hydroxy-2-(4-morpholinylmethyl)cyclopentyl]- (9CI) (CA INDEX NAME)

RN 288568-93-4 CAPLUS CN 5H-Indeno[2,1-a]pyrrolo[3,4-c]carbazol-5-one, 6,7,12,13-tetrahydro-13-(1-hydroxycyclobutyl)- (9CI) (CA INDEX NAME)

RN 288569-24-4 CAPLUS

CN 5H-Indeno[2,1-a]pyrrolo[3,4-c]carbazol-5-one, 6,7,12,13-tetrahydro-13-(1-hydroxycyclohexyl)- (9CI) (CA INDEX NAME)

RN 288569-30-2 CAPLUS

CN Cyclopentanecarboxylic acid, 2-oxo-5-(6,7,12,13-tetrahydro-5,7-dioxo-5H-indeno[2,1-a]pyrrolo[3,4-c]carbazol-13-yl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 288569-33-5 CAPLUS

CN 5H-Indeno[2,1-a]pyrrolo[3,4-c]carbazol-5-one, 13-cyclopentyl-6,7,12,13-tetrahydro- (9CI) (CA INDEX NAME)

RN 288569-39-1 CAPLUS

CN 5H-Indeno[2,1-a]pyrrolo[3,4-c]carbazol-5-one, 12,13-bis(cyclopropylmethyl)-6,7,12,13-tetrahydro-(9CI) (CA INDEX NAME)

IT 288569-51-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of cyclic substituted fused pyrrolocarbazoles and isoindolones with protein kinase inhibiting activity for pharmaceutical use)

RN 288569-51-7 CAPLUS

CN 5H-Indeno[2,1-a]pyrrolo[3,4-c]carbazol-5-one, 6-[bis(4-methoxyphenyl)methyl]-13-cyclopentyl-6,7,12,13-tetrahydro-13-hydroxy-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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Welcome to STN International! Enter x:x

LOGINID: SSSPTA1613SXW

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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                 Web Page URLs for STN Seminar Schedule - N. America
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        Jan 25
                 BLAST(R) searching in REGISTRY available in STN on the Web
NEWS 3
        Jan 29 FSTA has been reloaded and moves to weekly updates
NEWS 4 Feb 01 DKILIT now produced by FIZ Karlsruhe and has a new update
                 frequency
NEWS 5 Feb 19 Access via Tymnet and SprintNet Eliminated Effective 3/31/02
NEWS 6 Mar 08 Gene Names now available in BIOSIS
NEWS 7 Mar 22 TOXLIT no longer available
NEWS 8 Mar 22 TRCTHERMO no longer available
NEWS 9 Mar 28 US Provisional Priorities searched with P in CA/CAplus
                 and USPATFULL
NEWS 10 Mar 28 LIPINSKI/CALC added for property searching in REGISTRY
NEWS 11 Apr 02 PAPERCHEM no longer available on STN. Use PAPERCHEM2 instead.
NEWS 12 Apr 08 "Ask CAS" for self-help around the clock
NEWS 13 Apr 09 BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 14 Apr 09 ZDB will be removed from STN
NEWS 15 Apr 19 US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 16 Apr 22
                Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
                BIOSIS Gene Names now available in TOXCENTER
NEWS 17 Apr 22
NEWS 18 Apr 22 Federal Research in Progress (FEDRIP) now available
NEWS EXPRESS February 1 CURRENT WINDOWS VERSION IS V6.0d,
              CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
              AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
NEWS HOURS
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NEWS WWW
              CAS World Wide Web Site (general information)
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=> fil reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 29 APR 2002 HIGHEST RN 409058-68-0 DICTIONARY FILE UPDATES: 29 APR 2002 HIGHEST RN 409058-68-0

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

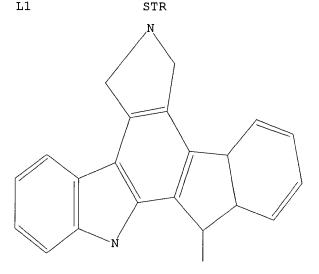
Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 500849b.str

L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss am COMBINATION OF STRUCTURE AND TEXT TERMS NOT VALID The query entered contains both search terms created by

structure-building or screen commands and text search terms. L#s created via the STRUCTURE or SCREEN commands must be searched in the structures files separately from text terms or profiles. The L# answer sets from structure searches can be used in crossover searches and can be combined with text terms.

=> s l1 sss sam SAMPLE SEARCH INITIATED 10:16:22 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 28 TO ITERATE

100.0% PROCESSED 28 ITERATIONS SEARCH TIME: 00.00.01

0 ANSWERS

9 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

PROJECTED ITERATIONS: BATCH **COMPLETE**
243 TO 877

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full FULL SEARCH INITIATED 10:16:26 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 418 TO ITERATE

100.0% PROCESSED 418 ITERATIONS SEARCH TIME: 00.00.01

L3 9 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 140.28 140.49

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FILE COVERS 1907 - 1 May 2002 VOL 136 ISS 18 FILE LAST UPDATED: 29 Apr 2002 (20020429/ED)

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=> s 13 full

3 L3

=> d 14 1-3 ibib abs hitstr

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2002:171684 CAPLUS

DOCUMENT NUMBER:

136:216643

TITLE:

Preparation of fused pyrrolocarbazoles as novel agents

for treating or preventing angiogenic,

neurodegenerative, or pathological disorders.

INVENTOR(S):

Gingrich, Diane E.; Hudkins, Robert L.

PATENT ASSIGNEE(S):

Cephalon, Inc., USA

SOURCE:

PCT Int. Appl., 64 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2002017914 A2 20020307 WO 2001-US26266 20010823 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG PRIORITY APPLN. INFO.: US 2000-227803P P 20000825

US 2001-278455P P 20010323

OTHER SOURCE(S): MARPAT 136:216643

GI

$$R^{3}$$
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AΒ This invention discloses the prepn. of title compds. I and their prodrugs or pharmaceutically acceptable salts [wherein: R1, R2 = H, C1-8alkyl-OR4; R4 = H, C1-4alkyl, aryl, e.g., Ph or naphthyl, amino acid ester; R3 = CH2OR7, (CH2)1-4S(0)0-2R5, C1-8alkyl-OR8, C1-8alkyl-S(0)0-2R6; R5 =C1-4alkyl, aryl; R8 = H, C1-4alkyl, aryl, amino acid ester; R6 = H, C1-4alkyl, C6-10aryl; R7 = H, C1-4alkyl]. For example, Michael addn. of Et acrylate to indole II, followed by lactam nitrogen protection, e.g., dimethoxybenzhydrol, ester redn., indole bromination, palladium-catalyzed carbonylation, ester redn., and lactam deprotection provided diol III. I may be used in a variety of ways, including: inhibition of angiogenesis; antitumor agents; enhancing the function and or survival of cells of neuronal lineage, either singularly or in combination with neurotrophic factor(s) and/or indolocarbazoles; enhancing trophic factor-induced activity; inhibition of kinases; inhibition of vascular endothelial growth factor receptor (VEGFR) kinase, preferably VEGFR2; inhibition of mixed lineage kinase (MLK); trk kinase; inhibition of platelet-derived growth factor receptor (PDGFR) kinase; inhibition of NGF-stimulated trk phosphorylation; inhibition of protein kinase C (PKC) activity; inhibition of trk tyrosine kinase activity; inhibition of proliferation of a prostate cancer cell-line; inhibition of the cellular pathways involved in the inflammation process; and enhancement of the survival of neuronal cells at risk of dying. Bioassay data, IC50 or % inhibition @ 300 nM, of the 40 claimed examples, for inhibition of vascular endothelial growth factor receptor kinase activity, e.g., compd. III (IC50 = 208 nM), was disclosed. Inhibition of mixed lineage kinase activity data, IC50 = nM or % inhibition at 100 nM, was also presented for the 40 claimed compds. e.g., compd. III against: MLK1 = 9.0 nM, MLK2 = 64%, and MLK3 = 5.0 nM. Also investigated (no data), the inhibition of trkA tyrosine kinase activity, of platelet derived growth factor receptor kinase activity, and of NGF-stimulated trk phosphorylation of a whole cell prepn. are presented. Claims included 40 specific examples. The syntheses of 1 example and 8 intermediates are described.

402857-50-5P 402857-72-1P

IT

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of fused pyrrolocarbazoles as novel

antiproliferative/antiinflammatory agents)

RN 402857-50-5 CAPLUS

CN 5H-Indeno[2,1-a]pyrrolo[3,4-c]carbazol-5-one, 9-(ethoxymethyl)-6,7,12,13-tetrahydro-13-(2-hydroxypropyl)- (9CI) (CA INDEX NAME)

RN 402857-72-1 CAPLUS

CN 5H-Indeno[2,1-a]pyrrolo[3,4-c]carbazol-5-one, 6,7,12,13-tetrahydro-13-(hydroxymethyl)-12-(3-hydroxypropyl)-9-[(1-methylethoxy)methyl]- (9CI) (CA INDEX NAME)

IT 402857-78-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of fused pyrrolocarbazoles as novel antiproliferative/antiinflammatory agents)

RN 402857-78-7 CAPLUS

CN 5H-Indeno[2,1-a]pyrrolo[3,4-c]carbazol-5-one, 6-[(1,1-dimethylethyl)dimethylsilyl]-12-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy] propyl]-6,7,12,13-tetrahydro-13-(hydroxymethyl)-9-[(1-methylethoxy)methyl]-(9CI) (CA INDEX NAME)

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2000:573797 CAPLUS

DOCUMENT NUMBER:

133:177158

TITLE:

Preparation of cyclic substituted fused

pyrrolocarbazoles and isoindolones with protein kinase

inhibiting activity for pharmaceutical use

INVENTOR(S):

Hudkins, Robert L.; Reddy, Dandu; Singh, Jasbir; Stripathy, Rabindranath; Underiner, Theodore L.

PATENT ASSIGNEE(S):

SOURCE:

Cephalon, Inc., USA

PCT Int. Appl., 131 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | PATENT NO. | | | | | KIND DATE | | | | APPLICATION NO. | | | | | | DATE | | | |
|------------------------------------|--------------------------|------------|-----|-------------|-------------|-----------|-----|----------------|-------------------|-----------------|-------|-------|-------|----------|----------|------|-----|-----|--|
| | WO | 2000047583 | | | A1 20000817 | | | WO 2000-US3476 | | | | | | 20000211 | | | | | |
| | | w: | ΑE, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CR, | CU, | |
| | | | | | | | | | | | | | | | HR, | | | | |
| | | | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MA, | |
| | | | | | | | | | | | | | | | SD, | | | | |
| | | | | | | | | | | | | | | | ZA, | | | | |
| | | | | | | | | ТJ, | | | | | | | - | • | | • | |
| | | RW: | GH, | GM, | ΚE, | LS, | MW, | SD, | SL, | SZ, | TZ, | UG, | ZW, | AT, | BE, | CH, | CY, | DE, | |
| | | | | | | | | | | | | | | | SE, | | | | |
| | | | | | | | | GW, | | | | | | | • | • | • | • | |
| | | | | A1 20020102 | | | | | | | | | | | | | | | |
| | | | | | | | | | | | | | | | NL, | | MC, | PT, | |
| | | | | SI, | | | | | | | | | | • | • | · | • | • | |
| | NO 2001003887 A 20011011 | | | | | | | | | NO 2001-3887 | | | | | 20010809 | | | | |
| PRIORITY APPLN. INFO.: | | | | | | | | Ţ | US 1999-119834P P | | | | | 19990212 | | | | | |
| US 2000-50084 | | | | | | | | | | | 19 | Α | 20000 | 0210 | | | | | |
| | | | | | | | | | V | VO 2 | 7-00C | JS341 | 76 | W | 20000 | 211 | | | |
| OTHER SOURCE(S): MARPAT 133:177158 | | | | | | | | | | | | | | | | | | | |

OTHER SOURCE(S):

MARPAT 133:177158

GI

AB Fused pyrrolocarbazoles and isoindolones, such as I [R1 = H, alkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl; R3-6 = H, CN, CF3, OH, CH2OH, halogen, aryl, heteroaryl, acyl, acyloxy, amino, etc.; Q = O, S, NR7; W = CR8R9; X, Y = H2, O; R7 = H, alkyl, heterocyclylalkyl, etc.; R8, R9 = H, OH, cycloalkyl, cycloalkylmethyl, heterocyclyl, heterocyclylalkyl, etc.], were prepd. for use as agents for the regulation of protein kinase and for the treatment of prostate disorders, neoplasia, rheumatoid arthritis, pulmonary fibrosis, etc. Thus, II (R = oxiranylmethyl) was prepd. in 71% yield by via reaction of (.+-.)-glycidyl mesylate and Rink's acid resin bound 6,7,12,13-tetrahydro-5H-indeno[2,1-a]pyrrolo[3,4-c]carbazol-5-one. The prepd. compds. were tested for inhibitory activity against a variety of protein kinases, such as trkA tyrosine kinase, vascular endothelial growth factor receptor kinase, protein kinase C, etc.

IT 288569-07-3P

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of cyclic substituted fused pyrrolocarbazoles and isoindolones with protein kinase inhibiting activity for pharmaceutical use)

RN 288569-07-3 CAPLUS

Butanoic acid, 3,3-dimethyl-, [2-(13-ethyl-6,7,12,13-tetrahydro-5-oxo-5H-indeno[2,1-a]pyrrolo[3,4-c]carbazol-13-yl)tetrahydro-2-furanyl]methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ \text{Me}_3\text{C}-\text{CH}_2-\text{C}-\text{O}-\text{CH}_2 \\ \parallel & & \\ & & \\ & & \\ & & \\ \end{array}$$

IT 288569-09-5P 288569-20-0P 288569-38-0P 288569-39-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic substituted fused pyrrolocarbazoles and isoindolones with protein kinase inhibiting activity for pharmaceutical use)

RN 288569-09-5 CAPLUS

CN 5H-Indeno[2,1-a]pyrrolo[3,4-c]carbazol-5-one, 13-ethyl-6,7,12,13-tetrahydro-13-[tetrahydro-2-(hydroxymethyl)-2-furanyl]- (9CI) (CA INDEX NAME)

RN 288569-20-0 CAPLUS

CN 5H-Indeno[2,1-a]pyrrolo[3,4-c]carbazol-5-one, 13-(2,3-dihydro-5,7-dimethoxy-2-benzofuranyl)-13-ethyl-6,7,12,13-tetrahydro-(9CI) (CA INDEX NAME)

RN 288569-38-0 CAPLUS

CN 1H-[1,2,4]Triazolo[1,2-a]pyridazine-1,3(2H)-dione, 5,8-dihydro-5-[hydroxy(6,7,12,13-tetrahydro-5-oxo-5H-indeno[2,1-a]pyrrolo[3,4-c]carbazol-13-yl)methyl]-8-methyl-2-phenyl- (9CI) (CA INDEX NAME)

RN 288569-39-1 CAPLUS

CN 5H-Indeno[2,1-a]pyrrolo[3,4-c]carbazol-5-one, 12,13-bis(cyclopropylmethyl)-6,7,12,13-tetrahydro- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2002 ACS

3

ACCESSION NUMBER:

2000:227509 CAPLUS

DOCUMENT NUMBER:

132:260705

TITLE:

Methods using fused pyrrolocarbazole compounds for preventing/treating damage to sensory hair cells and

cochlear neurons

INVENTOR(S):

Ylikoski, Jukka; Pirvola, Ulla; Saarma, Mart; Walton,

Kevin; Hudkins, Robert L.

PATENT ASSIGNEE(S):

Cephalon, Inc., USA

SOURCE:

PCT Int. Appl., 232 pp.

CODEN: PIXXD2 DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ______ -----WO 2000018407 A1 20000406 WO 1999-US21780 19990924

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,

CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AU 9960532 A1 20000417 AU 1999-60532 EP 1126855 Α1 20010829 EP 1999-969678 19990924 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO PRIORITY APPLN. INFO.: US 1998-101763P P 19980925 WO 1999-US21780 W 19990924

OTHER SOURCE(S): MARPAT 132:260705 Methods for preventing or treating damage to sensory hair cells and cochlear neurons are disclosed. The methods comprise the administration of an effective amt. of a fused pyrrolocarbazole compd. (Markush included). The method provides for the prevention/treatment of both hearing loss and loss of the sense of balance. Prepn. of compds. of the invention is described.

IT 263141-94-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(fused pyrrolocarbazoles for preventing or treating damage to sensory hair cells and cochlear neurons)

RN263141-94-2 CAPLUS

5H-Indeno[2,1-a]pyrrolo[3,4-c]carbazol-5-one, 6,7,12,13-tetrahydro-13-(1-CN hydroxyethyl) - (9CI) (CA INDEX NAME)

3

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT